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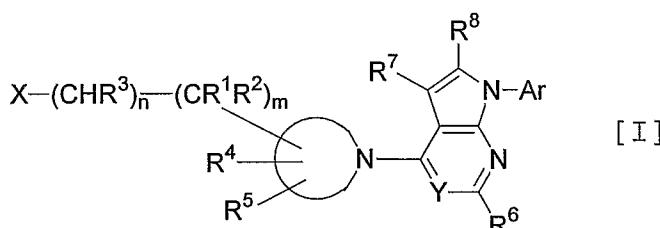
(88) Date of publication of the revised international search report: 23 February 2006

(15) Information about Correction:

see PCT Gazette No. 08/2006 of 23 February 2006, Section II

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PYRROLOPYRIMIDINE AND PYRROLOPYRIDINE DERIVATIVES SUBSTITUTED WITH A CYCLIC AMINO GROUP AS CRF ANTAGONISTS



wound, inflammation, immunity-related diseases, alopecia, irritable bowel syndrome, sleep disorders, epilepsy, dermatitides, schizophrenia, pain, etc. [SOLUTION] A pyrrolopyrimidine or pyrrolopyridine derivative substituted with a cyclic amino group represented by the following formula [I] has a high affinity for CRF receptors and/or δ receptors, and is effective against diseases in which CRF is considered to be involved.

(57) Abstract: [PROBLEM TO BE SOLVED] An object of the present invention is to provide an antagonist against CRF receptors and/or an agonist for receptors which is effective as a therapeutic or prophylactic agent for diseases in which CRF is considered to be involved, such as depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, gastrointestinal diseases, drug dependence, cerebral infarction, cerebral ischemia, cerebral edema, cephalic external

INTERNATIONAL SEARCH REPORT

International Application No

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A. CLASSIFICATION OF SUBJECT MATTER

IPC 7	C07D471/04	C07D487/04	A61K31/437	A61K31/519	A61P25/00
	C07F9/38	C07F9/40	C07D519/00		
// (C07D471/04,221:00,209:00),(C07D487/04,239:00,209:00),(C07D519/00,471:00,451:00)					

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 99/51600 A (NEUROGEN CORPORATION; HORVATH, RAYMOND, F; DARROW, JAMES, W; MAYNARD,) 14 October 1999 (1999-10-14) claims 1,38; example 16(g) -----	1-15
Y	WO 99/51599 A (NEUROGEN CORPORATION; GE, PING; HORVATH, RAYMOND, F; DE LOMBAERT, STEP) 14 October 1999 (1999-10-14) claims 1,7 -----	1-15
Y	WO 99/51597 A (NEUROGEN CORPORATION; HORVATH, RAYMOND, F; DARROW, JAMES, W; MAYNARD,) 14 October 1999 (1999-10-14) claims 1,53 ----- -/-	1-15

**CORRECTED
VERSION**

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

° Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the international search

19 October 2005

Date of mailing of the international search report

05.12.05

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INTERNATIONAL SEARCH REPORT

Internal Application No
PCT/JP2005/012152

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category ^a	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 02/02549 A (TAISHO PHARMACEUTICAL CO., LTD; NAKAZATO, ATSURO; KUMAGAI, TOSHIHITO;) 10 January 2002 (2002-01-10) cited in the application claims 1,13 -----	1-15
A	WO 94/13676 A (PFIZER INC; CHEN, YUHPYNG, L) 23 June 1994 (1994-06-23) claims 1,11 -----	1-15
P,X	WO 2004/058767 A (TAISHO PHARMACEUTICAL CO., LTD; NAKAZATO, ATSURO; OKUBO, TAKETOSHI; NO) 15 July 2004 (2004-07-15) cited in the application claims 1,43 page 90, scheme 2, compound (11) page 94, lines 16, 17 page 95, scheme 3, compound (18) examples 3,4 -----	1-5,8-10

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP2005/012152

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:

2. Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-6(part),7,8-11(part),12,13-15(part)

Compounds of formula I where X is $-CO_2R_9$, $-P(=O)(R_{12})R_{13}$ or $-S(=O)kR_{14}$ and corresponding compositions and uses

2. claims: 1-6(part),8-11(part),13-15(part)

Compounds of formula I where X is $-CON(R_{10})R_{11}$ and corresponding compositions and uses

INTERNATIONAL SEARCH REPORT

Information on patent family members

Intern: Application No
PCT/JP2005/012152

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
WO 9951600	A 14-10-1999	AU 3464599 A CA 2326606 A1 EP 1068207 A1 JP 2002510688 T		25-10-1999 14-10-1999 17-01-2001 09-04-2002
WO 9951599	A 14-10-1999	AU 3378799 A CA 2326383 A1 EP 1068206 A1 JP 2002510687 T		25-10-1999 14-10-1999 17-01-2001 09-04-2002
WO 9951597	A 14-10-1999	AU 3375999 A CA 2326319 A1 EP 1068205 A1 JP 2002510686 T		25-10-1999 14-10-1999 17-01-2001 09-04-2002
WO 0202549	A 10-01-2002	AU 6943701 A BG 107374 A BR 0112166 A CA 2412287 A1 CN 1439001 A CN 1535968 A CZ 20024229 A3 EA 5289 B1 EE 200300007 A EP 1299378 A1 HR 20030074 A2 HU 0301165 A2 JP 2004502685 T MX PA02012820 A NO 20026125 A PL 358411 A1 SK 132003 A3 TW 591022 B US 2004034061 A1		14-01-2002 30-09-2004 02-09-2003 10-01-2002 27-08-2003 13-10-2004 18-06-2003 30-12-2004 16-08-2004 09-04-2003 28-02-2005 28-08-2003 29-01-2004 14-05-2003 04-02-2003 09-08-2004 05-08-2003 11-06-2004 19-02-2004
WO 9413676	A 23-06-1994	AT 177101 T AU 690090 B2 AU 5666494 A BR 9307646 A CA 2150016 A1 CN 1097758 A CZ 9501584 A3 DE 69323768 D1 DE 69323768 T2 DK 674641 T3 EP 0674641 A1 ES 2128544 T3 FI 935585 A FI 20000343 A GR 3029561 T3 HU 70505 A2 IL 107897 A JP 2895961 B2 JP 7509726 T KR 173172 B1 NO 952398 A NZ 258690 A PL 309357 A1		15-03-1999 23-04-1998 04-07-1994 25-05-1999 23-06-1994 25-01-1995 17-01-1996 08-04-1999 01-07-1999 27-09-1999 04-10-1995 16-05-1999 18-06-1994 16-02-2000 30-06-1999 30-10-1995 28-01-2001 31-05-1999 26-10-1995 01-02-1999 16-06-1995 29-01-1997 02-10-1995

INTERNATIONAL SEARCH REPORT

Information on patent family members

Interna	Application No
PCT/JP2005/012152	

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
WO 9413676	A	RU	2124015 C1	27-12-1998
		US	6765008 B1	20-07-2004
		ZA	9309271 A	12-06-1995
WO 2004058767	A 15-07-2004	AU	2003292550 A1	22-07-2004
		BR	0307587 A	01-02-2005
		CA	2485556 A1	15-07-2004
		EP	1467997 A1	20-10-2004
		HR	20040724 A2	31-10-2004
		US	2005209253 A1	22-09-2005